PN - DE19951715 C 20010322

PD - 2001-03-22

PR - DE19991051715 19991027

OPD - 1999-10-27

TI - New 18-fluoro-labeled cytosine derivatives, useful as tracers for monitoring activity of transferred cytosine deaminase genes in gene therapy of tumors

AB - 18-Fluorine-labeled cytosine derivatives (I) are new. 18-Fluorine-labeled cytosine derivatives of formula (I) are new. R1, R2 = H or F, or R1 is H or CH3 and R2 is fluoromethyl, 2-fluoroethyl or F; R3 = H, F, Cl, Br, CH3 or fluoromethyl; R4 = H or CH3, and the molecule must contain at least one 18-fluoro substituent. An Independent claim is also included for a method for preparing N4-(18-fluoro)cytosine (Ia) and N4-(18-fluoromethyl)cytosine (Ib).

IN - KNIES TORSTEN (DE); NOLL BERNHARD (DE); NOLL STEFFI (DE)

PA - ROSSENDORF FORSCHZENT (DE)

ICO - S01N333/978 ; M07M5/00

EC - C12Q1/34 ; A61K51/04 ; C07B59/00D ; C07D239/46C3 ; C07H19/06E ; C07H21/00G ; G01N33/60 ; A61K51/04H

IC - C07D239/47 ; C12N15/55 ; A61K51/04 ; C07B59/00 ; C12Q1/25 ; G01N33/48 ; G21H5/02 ; A61K101/02

CTNP - [ ] J. Chem. Soc. Pekin Trans. I (1988), 1023-7;
- [ ] Chem. Abstr. 101, Nr. 55032;
- [ ] Chem. Abstr. 124, Nr. 219229

TI - New 18-fluoro-labeled cytosine derivatives, useful as tracers for monitoring activity of transferred cytosine deaminase genes in gene therapy of tumors

PR - DE19991051715 19991027

PN - DE19951715 C1 20010322 DW200119 C07D239/47 005pp

PA - (ROSS-N) FORSCHUNGSZENTRUM ROSSENDORF EV

IC - A61K51/04 ; C07B59/00 ; C07D239/47 ; C12N15/55 ; C12Q1/25 ; G01N33/48 ; G21H5/02

IN - KNIESS T; NOLL B; NOLL S

AB - DE19951715 NOVELTY - 18-Fluorine-labeled cytosine derivatives (I) are new.
- DETAILED DESCRIPTION - 18-Fluorine-labeled cytosine derivatives of formula (I) are new.
- R1, R2 = H or F, or R1 is H or CH3 and R2 is fluoromethyl, 2-fluoroethyl or F;
- R3 = H, F, Cl, Br, CH3 or fluoromethyl;
- R4 = H or CH3, and the molecule must contain at least one 18-fluoro substituent.
- An INDEPENDENT CLAIM is also included for a method for preparing N4-(18-fluoro)cytosine (Ia) and N4-(18-fluoromethyl)cytosine (Ib).
- USE - (I) are used to monitor (by positron emission tomography) expression of cytosine deaminase (CD) after gene transfer (claimed) for treatment of cancer, i.e. to determine if successful gene transfer has been achieved and if gene expression is taking place.
- ADVANTAGE - (I) remains in cells for long enough to allow measurement of cytosine deaminase (CD)

activity. It is a CD substrate with low reverse diffusion and, after enzymatic reaction, it becomes trapped. The rate of the enzymatic reaction matches the half-life of 18fluorine.

- (Dwg.0/0)

OPD - 1999-10-27

AN - 2001-184071 [19]